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Terms	Documents
(thiol or sulfhydryl or sulfide) near10 (chitosan or carboxymethylcellulose or alginate or hydroxypropylcellulose or hyaluronic or pectin)	21

Database: US Patents Full-Text Database; US Pre-Grant Publication Full-Text Database; JPO Abstracts Database; EPO Abstracts Database; Derwent World Patents Index; IBM Technical Disclosure Bulletins

Refine Search: (thiol or sulfhydryl or sulfide) near10 (chitosan or carboxymethylcellulose or alginate or hydroxypropylcellulose or

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Today's Date: 9/23/2001

DB Name	Query	Hit Count	Set Name
JPAB,EPAB,DWPI	(thiol or sulfhydryl or sulfide) near10 (chitosan or carboxymethylcellulose or alginate or hydroxypropylcellulose or hyaluronic or pectin)	21	L23
USPT	(thiol or sulfhydryl or sulfide) near10 (chitosan or carboxymethylcellulose or alginate or hydroxypropylcellulose or hyaluronic or pectin)	20	L22
USPT	(thiol or sulfhydryl or mercapto or sulfide) same (chitosan or carboxymethylcellulose or alginate or hydroxypropylcellulose or hyaluronic or pectin)	319	L21
USPT	chitosan same disulfide	37	L20
USPT	L17 and (thiol or sulfhydryl or cysteine or cystine or mercapto or SH or sulfide or disulfide)	6	L19
USPT	L17 and disulfide	1	L18
USPT	5496872	9	L17
USPT	(adhesion or adhesive) same disulfide	707	L16
USPT	(bioadhesion or bioadhesive) same disulfide	5	L15
USPT	L13 same (thiol or sulfhydryl or cysteine or cystine or mercapto or SH or sulfide or disulfide)	16	L14
USPT	bioadhesive or bioadhesion	872	L13
USPT	L8 not L11	53	L12
USPT	L8 not L9	137	L11
USPT	L8 same (thiol or sulfhydryl or cysteine or cystine or mercapto or SH or sulfide or disulfide)	1	L10
USPT	L8 and (thiol or sulfhydryl or cysteine or cystine or mercapto or SH or sulfide or disulfide)	53	L9
USPT	L4 not L5	190	L8
USPT	L5 and (thiol or sulfhydryl or cysteine or cystine or mercapto or SH or sulfide or disulfide)	0	L7
USPT	L5 and (thiol or sulfhydryl or cysteine or cystine or mercapto or SH)	0	L6
USPT	mucoadhesive [ti]	12	L5
USPT	mucoadhesive	202	L4
USPT	cysteine near5 (chitosan or carboxymethylcellulose or alginate or hydroxypropylcellulose or hyaluronic or pectin)	8	L3
USPT	thiolated near10 (chitosan or carboxymethylcellulose or alginate or hydroxypropylcellulose or hyaluronic or pectin) not L1	1	L2
USPT	thiolated near3 (chitosan or carboxymethylcellulose or alginate or hydroxypropylcellulose or hyaluronic or pectin)	5	L1

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NEWS 8	Jun 20	Published patent applications (A1) are now in USPATFULL
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NEWS 10	Aug 23	In-process records and more frequent updates now in MEDLINE
NEWS 11	Aug 23	PAGE IMAGES FOR 1947-1966 RECORDS IN CAPLUS AND CA
NEWS 12	Aug 23	Adis Newsletters (ADISNEWS) now available on STN
NEWS 13	Sep 17	IMSworld Pharmaceutical Company Directory name change to PHARMASEARCH

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```
=> s thiol or sulfhydryl
         36558 THIOL
         24498 THIOLS
         50002 THIOL
                 (THIOL OR THIOLS)
         19484 SULFHYDRYL
          1509 SULFHYDRYLS
         20091 SULFHYDRYL
                 (SULFHYDRYL OR SULFHYDRYLS)
L1       66679 THIOL OR SULFHYDRYL

=> s mucoadhesive or bioadhesive
           493 MUCOADHESIVE
            22 MUCOADHESIVES
           499 MUCOADHESIVE
                 (MUCOADHESIVE OR MUCOADHESIVES)
           925 BIOADHESIVE
           101 BIOADHESIVES
           963 BIOADHESIVE
                 (BIOADHESIVE OR BIOADHESIVES)
L2        1350 MUCOADHESIVE OR BIOADHESIVE

=> s L1 and L2
L3          12 L1 AND L2

=> d L3 1-12 ti

L3   ANSWER 1 OF 12  CAPLUS  COPYRIGHT 2001 ACS
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TI Synthesis and in vitro evaluation of chitosan-thioglycolic acid conjugates

L3 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2001 ACS
TI Thiolated polymers - thiomers: development and in vitro evaluation of chitosan-thioglycolic acid conjugates

L3 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2001 ACS
TI In vitro evaluation of matrix tablets based on thiolated polycarbophil

L3 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2001 ACS
TI Improvement in the **mucoadhesive** properties of alginate by the covalent attachment of cysteine

L3 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2001 ACS
TI **Bioadhesive** hydrogels with functionalized degradable crosslinks

L3 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2001 ACS
TI FT-IR spectroscopic investigations on sol-gel-derived coatings from acid-modified titanium alkoxides

L3 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2001 ACS
TI Development of controlled drug release systems based on thiolated polymers

L3 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2001 ACS
TI Synthesis and characterization of **mucoadhesive** thiolated polymers

L3 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2001 ACS
TI Synthesis and in vitro evaluation of chitosan-cysteine conjugates

L3 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2001 ACS
TI Thiolated polymers: a new generation of **mucoadhesive** polymers

L3 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2001 ACS
TI Polymers with **thiol** groups: a new generation of **mucoadhesive** polymers?

L3 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2001 ACS
TI Mytilus edulis adhesive protein (MAP) as an enzyme immobilization matrix in the fabrication of enzyme-based electrodes

=> d L3 1-5,7-11 ibib,abs

L3 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2001:600181 CAPLUS
TITLE: Synthesis and in vitro evaluation of chitosan-thioglycolic acid conjugates
AUTHOR(S): Bernkop-Schnurch, Andreas; Hopf, Thorid E.
CORPORATE SOURCE: Institute of Pharmaceutical Technology and Biopharmaceutics, Center of Pharmacy, University of Vienna, Vienna, A-1090, Austria
SOURCE: Sci. Pharm. (2001), 69(2), 109-118
CODEN: SCPHA4; ISSN: 0036-8709
PUBLISHER: Oesterreichische Apotheker-Verlagsgesellschaft
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The cationic thiomer chitosan-thioglycolic acid (TGA) shows excellent

mucoadhesive features. In order to deepen the knowledge concerning this new excipient the optimization of its synthesis and a detailed characterization of its properties was the objective of this study Mediated by increasing quantities of a carbodimide, thioglycolic acid was covalently attached to chitosan forming amide bonds with the primary amino groups of the polymer Detd. with Ellman's reagent, 38 .+-. 3, 104 .+-. 2, 685 .+-. 43, and 885 .+-. 7 .mu.mol **thiol** groups (n=3, .+-. SD) were bound per g polymer at carbodiimide concns. of 50, 75, 100, and 125 mM, resp. The immobilized **thiol** groups displayed a comparatively higher reactivity to form disulfide bonds than the **thiol** groups in a corresponding mixt. of chitosan and free unconjugated TGA. In an aq. 0.5% (m/v) chitosan-TGA gel 59 .+-. 5% of the **thiol** groups formed disulfide bonds within 6 h at pH 6.0, whereas merely 5 .+-. 3% were oxidized in the corresponding phys. mixt. of chitosan and TGA. Diffusion studies showed that the modified polymer was capable of binding cysteine and cysteine Me ester. The result supports the theory that the improved **mucoadhesive** properties of thiolated chitosan are based on the formation of disulfide bonds with cysteine moieties of mucus glycoproteins. Because of its availability via an efficient synthetic pathway and its **mucoadhesive** properties based on the capability to bind cysteine subunits, chitosan-TGA seems to be a promising new excipient for various drug delivery systems.

L3 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2001:520176 CAPLUS
TITLE: Thiolated polymers - thiomers: development and in vitro evaluation of chitosan-thioglycolic acid conjugates
AUTHOR(S): Kast, C. E.; Bernkop-Schnurch, A.
CORPORATE SOURCE: Center of Pharmacy, Institute of Pharmaceutical Technology and Biopharmaceutics, University of Vienna, Vienna, A-1090, Austria
SOURCE: Biomaterials (2001), 22(17), 2345-2352
CODEN: BIMADU; ISSN: 0142-9612
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The aim of this study was to improve **mucoadhesive** properties of chitosan by the covalent attachment of **thiol** moieties to this cationic polymer. Mediated by a carbodiimide, thioglycolic acid (TGA) was covalently attached to chitosan. This was achieved by the formation of amide bonds between the primary amino groups of the polymer and the carboxylic acid group of TGA. Dependent on the pH-value and the wt. ratio of polymer to TGA during the coupling reaction the resulting thiolated polymers, the so-called thiomers, displayed 6.58, 9.88, 27.44, and 38.23 .mu.mole **thiol** groups per g polymer. Tensile studies carried out with these chitosan-TGA conjugates on freshly excised porcine intestinal mucosa demonstrated a 6.3-, 8.6-, 8.9-, and 10.3-fold increase in the total work of adhesion (TWA) compared to the unmodified polymer, resp. In contrast, the combination of chitosan and free unconjugated TGA showed almost no mucoadhesion. These data were in good correlation with further results obtained by another mucoadhesion test demonstrating a prolonged residence time of thiolated chitosan on porcine mucosa. The swelling behavior of all conjugates was thereby exactly in the same range

as for an unmodified polymer pretreated in the same way. Furthermore, it could be shown that chitosan-TGA conjugates are still biodegradable by the glycosidase lysozyme. According to these results, chitosan-TGA conjugates represent a promising tool for the development of **mucoadhesive** drug delivery systems.

REFERENCE COUNT: 24

REFERENCE(S):
(2) Bernkop-Schnurch, A; Int J Pharm 2000, V194, P1 CAPLUS
(3) Bernkop-Schnurch, A; J Control Rel 2000, V66, P39 CAPLUS
(4) Bernkop-Schnurch, A; J Pharm Sci 2000, V89, P901 CAPLUS
(5) Bernkop-Schnurch, A; Pharm Res 1999, V16, P876 CAPLUS
(6) Bernkop-Schnurch, A; Sci Pharm 1999, V67, P197 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2001:350505 CAPLUS

TITLE: In vitro evaluation of matrix tablets based on thiolated polycarbophil

AUTHOR(S): Clausen, Andreas E.; Bernkop-Schnurch, Andreas

CORPORATE SOURCE: Center of Pharmacy, Institute of Pharmaceutical Technology and Biopharmaceutics, University of Vienna, Vienna, Austria

SOURCE: Pharm. Ind. (2001), 63(3), 312-317
CODEN: PHINAN; ISSN: 0031-711X

PUBLISHER: Editio Cantor Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Based on thiolated polycarbophil, a **mucoadhesive** peptide drug delivery system with improved stability and release properties has been established. Mediated by a carbodimide, L-cysteine was covalently linked to polycarbophil (PCP). The amt. of cysteine moieties on the polymer was in the range of 72.6 .+-. 5.8 .mu.mol/g polymer. Disintegration studies with tablets of thiolated PCP (PCP-Cys) demonstrated a stability for 48.3 .+-. 1.5 min at 37 .degree.C in 100 mM Tris-HCl pH 6.8, whereas tablets of the corresponding unmodified polymer (PCP) disintegrated within a time period of 13.8 .+-. 1.6 min (mean .+-. SD, n = 3). During these disintegration studies the amt. of **thiol** groups decreased in tablets consisting exclusively of PCP-Cys by 80.0 .+-. 4.5%, suggesting that the formation of inter- and/or intramol. disulfide bonds is responsible for this strongly improved stability of tablets based on the thiolated polymer. Further expts. demonstrated that this decrease in **thiol** groups can be lowered to 64.2 .+-. 0.8% by substituting 60 % of the thiolated polymer by mannitol. Release studies of the fluorescence labeled model drug insulin showed that an almost zero-order release kinetic can be provided by the use of thiolated polycarbophil as carrier matrix. The results represent helpful information in order to improve the stability and release properties of matrix tablets based on **mucoadhesive** polymers.

REFERENCE COUNT: 18

REFERENCE(S):
(1) Bernkop-Schnurch, A; Int J Pharm 2000, V194, P239

CAPLUS
(2) Bernkop-Schnurch, A; J Control Rel 2000, V66, P39 CAPLUS
(3) Bernkop-Schnurch, A; J Control Release 1998, V52, P1 CAPLUS
(4) Bernkop-Schnurch, A; J Control Release 1998, V50, P215 CAPLUS
(5) Bernkop-Schnurch, A; J Pharm Sci 2000, V89, P901 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2001:237207 CAPLUS
DOCUMENT NUMBER: 135:157488
TITLE: Improvement in the **mucoadhesive** properties of alginate by the covalent attachment of cysteine
AUTHOR(S): Bernkop-Schnurch, A.; Kast, C. E.; Richter, M. F.
CORPORATE SOURCE: Center of Pharmacy, Institute of Pharmaceutical Technology and Biopharmaceutics, University of Vienna, Vienna, A-1090, Austria
SOURCE: J. Controlled Release (2001), 71(3), 277-285
CODEN: JCREEC; ISSN: 0168-3659
PUBLISHER: Elsevier Science Ireland Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The purpose of the present study was to improve the **mucoadhesive** properties of alginate by the covalent attachment of cysteine. Mediated by a carbodiimide, L-cysteine was covalently linked to the polymer. The resulting thiolated alginate displayed 340.4.+-.74.9 .mu.mol **thiol** groups per g conjugate (means.+-.S.D.; n=4). Within 2 h the viscosity of an aq. mucus/alginate-cysteine conjugate mixt. pH 7.0 increased at 37.degree.C by more than 50% compared to a mucus/alginate mixt., indicating enlarged interactions between the mucus and the thiolated polymer. Tensile studies carried out on freshly excised porcine intestinal mucosa demonstrated a total work of adhesion (TWA) of 25.8.+-.0.6 and 101.6.+-.36.1 .mu.J for alginate and the alginate-cysteine conjugate, resp. (means.+-.S.D.; n=5). The max. detachment force (MDF) was thereby in good correlation with the TWA. Due to the immobilization of cysteine, the swelling velocity of the polymer was significantly accelerated ($P<0.05$). In aq. media the alginate-cysteine conjugate was capable of forming inter- and/or intramol. disulfide bonds. Because of this crosslinking process within the polymeric network, the cohesive properties of the conjugate were also improved. Tablets comprising the unmodified polymer disintegrated within 49.+-.14.5 min, whereas tablets of thiolated alginate remained stable for 148.8.+-.39.1 min (means.+-.S.D.; n=3). These features should render thiolated alginate useful as excipient for various drug delivery systems providing an improved stability and a prolonged residence time on certain mucosal epithelia.
REFERENCE COUNT: 25
REFERENCE(S):
(1) Acarturk, F; Microencapsulation 1999, V16, P291 CAPLUS
(2) Aynie, I; Antisense Nucleic Acid Drug Dev 1999, V9, P301 CAPLUS
(4) Bernkop-Schnurch, A; Int J Pharm 2000, V194, P239 CAPLUS
(5) Bernkop-Schnurch, A; J Control Release 2000, V66,

P39 CAPLUS
(6) Bernkop-Schnurch, A; J Pharm Sci 2000, V89, P901 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2000:842028 CAPLUS
DOCUMENT NUMBER: 134:21459
TITLE: **Bioadhesive** hydrogels with functionalized degradable crosslinks
INVENTOR(S): Marchant, Nancy S.
PATENT ASSIGNEE(S): B.F.Goodrich Company, USA
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000071180	A1	20001130	WO 2000-US11265	20000427

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-316688 A 19990521
AB This invention relates to a **bioadhesive** compn. comprising two or more essentially excretable, essentially non-degradable polymer backbones,
wherein the polymer backbones are crosslinked, said crosslink being degradable in a mammal, said cross-linked **bioadhesive** compn. having an av. bioadhesion factor showing bioadhesion equiv. to at least about 100 g s. The concept is to build a hydrogel that demonstrates bioadhesion to a mucosal surface that is crosslinked by a degradable linkage such as disulfide for use inside the body. Free radical polymn. of acrylic acid and bis-acrylamide cystamine produced a polymer which was isolated as a white powder. The viscosity of 0.2% of the polymer in deionized water was 1080.
REFERENCE COUNT: 5
REFERENCE(S): (1) Harsh, D; JOURNAL OF CONTROLLED RELEASE 1991, V17(2), P175 CAPLUS
(2) Lee, H; POLYMER JOURNAL 1998, V30(12), P976 CAPLUS
(3) Nat Res Dev; GB 1566249 A 1980 CAPLUS
(4) Peppas, N; BIOMATERIALS 1996, V17(16), P1553 CAPLUS
(5) Steckler, R; US 4060678 A 1977 CAPLUS

L3 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2000:152364 CAPLUS
DOCUMENT NUMBER: 133:94406
TITLE: Development of controlled drug release systems based on thiolated polymers
AUTHOR(S): Bernkop-Schnurch, A.; Scholler, S.; Biebel, R. G.

CORPORATE SOURCE: Center of Pharmacy, Institute of Pharmaceutical Technology, University of Vienna, Vienna, A-1090, Austria
SOURCE: J. Controlled Release (2000), 66(1), 39-48
CODEN: JCREEC; ISSN: 0168-3659
PUBLISHER: Elsevier Science Ireland Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The purpose of the present study was to generate **mucoadhesive** matrix-tablets based on thiolated polymers. Mediated by a carbodiimide, L-cysteine was thereby covalently linked to polycarbophil (PCP) and sodium CM-cellulose (CMC). The resulting thiolated polymers displayed 100 and 12804 .mu.mol **thiol** groups.g, resp. In aq. solns. these modified polymers were capable of forming inter- and/or intramol. disulfide bonds. The rate of this process augmented with increase of the polymer- and decrease of the proton-concn. The oxidn. proceeded more rapidly within thiolated PCP than within thiolated CMC. Due to the formation of disulfide bonds within **thiol**-contg. polymers, the stability of matrix-tablets based on such polymers could be strongly improved. Whereas tablets based on the corresponding unmodified polymer disintegrated within 2 h, the swollen carrier matrix of thiolated CMC and PCP remained stable for 6.2 h and more than 48 h, resp. Release studies of the model drug rifampicin demonstrated that a controlled release can be provided by thiolated polymer tablets. The combination of high stability, controlled drug release and **mucoadhesive** properties renders matrix-tablets based on thiolated polymers useful as novel drug delivery systems.

REFERENCE COUNT: 17
REFERENCE(S):
(2) Bernkop-Schnurch, A; Drug Dev Ind Pharm 1997, V23, P733 CAPLUS
(4) Bernkop-Schnurch, A; J Control Release 1998, V52, P1 CAPLUS
(5) Bernkop-Schnurch, A; Pharm Res 1999, V16, P876 CAPLUS
(7) Ch'ng, H; J Pharm Sci 1985, V74, P399 CAPLUS
(9) Coupe, A; Pharm Res 1991, V8, P360 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2000:123953 CAPLUS
DOCUMENT NUMBER: 132:298657
TITLE: Synthesis and characterization of **mucoadhesive** thiolated polymers
AUTHOR(S): Bernkop-Schnurch, A.; Steininger, S.
CORPORATE SOURCE: Center of Pharmacy, Institute of Pharmaceutical Technology, University of Vienn, Vienna, A-1090, Austria
SOURCE: Int. J. Pharm. (2000), 194(2), 239-247
CODEN: IJPHDE; ISSN: 0378-5173
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB This study examd. various factors influencing the **mucoadhesive** properties of thiolated polymers (thiomers), which are capable of forming covalent bonds with **thiol** sub-structures of the mucus glycoprotein. Mediated by a carbodiimide, L-cysteine was therefore

covalently bound to polycarbophil (PCP) and to CM-cellulose (CMC). The resulting polymer conjugates displayed 12.3 and 22.3 .mu.mol **thiol** groups per g, resp. Whereas the swelling behavior of tablets based on CMC was not markedly influenced by the immobilization of cysteine, it was improved significantly ($P<0.05$) in case of PCP. Tensile studies carried out with the unmodified and thiolated polymers of pH 3, 5 and 7, resp., revealed that only if the polymer displays a pH-value of 5, the total work of adhesion can be improved significantly due to the covalent attachment of **thiol** groups. These results were in good agreement with a new mucoadhesion test system described here taking also the cohesiveness of the delivery system into account. The results represent helpful basic information in order to improve the **mucoadhesive** properties of thiolated polymers.

REFERENCE COUNT: 20

REFERENCE(S): (3) Bernkop-Schnurch, A; Drug Dev Ind Pharm 1997, V23, P733 CAPLUS
(4) Bernkop-Schnurch, A; J Controlled Release 1998, V52, P1 CAPLUS
(5) Bernkop-Schnurch, A; J Controlled Release 1998, V50, P215 CAPLUS
(6) Bernkop-Schnurch, A; N Pharm Res 1997, V14, P181 CAPLUS
(7) Bernkop-Schnurch, A; Pharm Res 1999, V16, P876 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2000:31626 CAPLUS
DOCUMENT NUMBER: 132:98016

TITLE: Synthesis and in vitro evaluation of chitosan-cysteine conjugates

AUTHOR(S): Bernkop-Schnurch, Andreas; Brandt, Ursula-Maria; Clausen, Andreas E.
CORPORATE SOURCE: Institut Pharmazeutische Technologie, Pharmazie-Zentrum, Univ. Wien, Vienna, A-1090, Austria

SOURCE: Sci. Pharm. (1999), 67(4), 197-208
CODEN: SCPHA4; ISSN: 0036-8709
PUBLISHER: Oesterreichische Apotheker-Verlagsgesellschaft
DOCUMENT TYPE: Journal
LANGUAGE: German

AB Mediated by a water-sol. carbodiimide cysteine was covalently attached to chitosan. According to the amt. of carbodiimide during the coupling reaction, 0.25, 0.7, and 1.2% of Cys were thereby bound to the polymer. Whereas the **mucoadhesive** properties of chitosan could not be improved due to this modification, the stability of matrix tablets based on thiolated chitosan might be strongly improved because of the formation of inter- and/or intramol. disulfide bonds within these polymers. This oxidative process can be accelerated at higher temps. and by lowering the proton concn. on the polymer. Permeation studies carried out by chambers with freshly excised intestinal mucosa from guinea pigs demonstrated furthermore an improved permeation enhancing effect of chitosan due to the covalent attachment of Cys on it.

REFERENCE COUNT: 11

REFERENCE(S): (4) Bernkop-Schnurch, A; Int J Pharm 1998, V165, P217

CAPLUS
(6) Bernkop-Schnurch, A; Pharm Res 1999, V16, P876 CAPLUS
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(8) Gotoh, S; J Pharm Sci 1996, V85, P858 CAPLUS
(9) Gum, J; J Biol Chem 1992, V267, P21375 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:758076 CAPLUS
DOCUMENT NUMBER: 132:298491
TITLE: Thiolated polymers: a new generation of **mucoadhesive** polymers
AUTHOR(S): Bernkop-Schnuerch, A.
CORPORATE SOURCE: Cent. of Pharm., Inst. of Pharm. Technol., Univ. of Vienna, Vienna, A-1090, Austria
SOURCE: Farm. Vestn. (Ljubljana) (1999), 50(Pos. Stev.), 268-269
CODEN: FMVTAV; ISSN: 0014-8229
PUBLISHER: Slovensko Farmacevtsko Drustvo
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review with 4 refs. of the mucoadhesion, cohesiveness, and penetration-enhancing capabilities of thiomers (thiolated polymers) and their action in inhibiting Zn proteinases. These polymers include conjugates of cysteine with polycarbophil, chitosan, and Na CM-cellulose, and are believed to interact with cysteine-rich subdomains of mucus glycoproteins.

L3 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:408906 CAPLUS
DOCUMENT NUMBER: 131:174949
TITLE: Polymers with **thiol** groups: a new generation of **mucoadhesive** polymers?
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AB The **mucoadhesive** properties of polycarbophil were improved by the introduction of **sulfhydryl** groups. Mediated by a carbodiimide, cysteine was covalently bound to polycarbophil (PCP) forming amide bonds between the primary amino group of the amino acid and the carboxylic acid moieties of the polymer. The amt. of covalently attached cysteine and the formation of disulfide bonds within the modified polymer were detd. by quantifying the share of **thiol** groups on the polymer conjugates with Ellman's reagent. The adhesive properties of polycarbophil-cysteine conjugates were evaluated in vitro on excised porcine intestinal mucosa by detg. the total work of adhesion (TWA). Depending on the wt.-ratio of polycarbophil to cysteine at the coupling reaction, e.g., 16:1 and 2:1, 0.6 .+-. 0.7 .mu.mole and 5.3 .+-. 2.4 .mu.mole cysteine, resp., were covalently bound per g polymer. The modified polymer displayed improved internal cohesive properties due to

the formation of interchain disulfide bonds within the polymer in aq. solns. at pH-values above 5. Adhesion studies revealed strongly improved adhesive properties. Whereas the TWA was detd. to be 104 .+-. 21 .mu.J for the unmodified polymer, it was 191 .+-. 47 .mu.J for the polymer-cysteine conjugate 16:1 and 280 .+-. 67 .mu.J for the polymer-cysteine conjugate 2:1. Polymers with **thiol** groups might represent a new generation of **mucoadhesive** polymers displaying comparatively stronger adhesive properties.

REFERENCE COUNT: 14

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ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE 'CAPLUS' ENTERED AT 18:41:52 ON 23 SEP 2001
L1 66679 S THIOL OR SULFHYDRYL
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